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- 2. A process according to claim 1 wherein said polypyrrolinones are substantially diastereomerically pure.
- A process according to Claim 1 wherein the initial α-amino-α-substituted-1,4-dioxo compound is a compound (39) and R<sup>6</sup> is an alkoxycarbonyl protecting group, R is as defined above and R<sup>7</sup> is a lower alkoxy group,

$$O \longrightarrow R^7 \qquad (39)$$

- 4. A process according to claim 1 wherein the oxidant in step (c) is oxalyl chloride, a tertiary amine and DMSO.
- 5. A process according to Claim 4 wherein the tertiary amine is DBU or di-iso-propylethyl amine.
- 6. A process according to Claim 1 wherein the crown ether in step (b) is 18-crown-6.
- 7. A process according to Claim 1 wherein the base in step (b) is potassium hexamethyldisilazane.
  - 8. A solid-phase process according to claim 1 wherein R<sup>7</sup> is a carboxyl or carbamido linked to a solid support further comprising the steps of:
- 20 (f) attaching a latent aldehyde (40) to a solid support wherein and converting the latent aldehyde to an aldehyde (41);

$$HX \longrightarrow \begin{array}{c} R^6 \\ R^8 \\ NHR^6 \end{array} \longrightarrow \begin{array}{c} O \\ HR^8 \\ NHR^6 \end{array} \longrightarrow \begin{array}{c} O \\ NHR^6 \longrightarrow \begin{array}{c} O \\ N$$

wherein:

R<sup>8</sup> is 3-methyl-1-but-2-enyl, 2,2-dimethoxyethyl, 2-hydroxyethyl, and X is nitrogen or oxygen;

(g) repeating steps (a)-(c) m times and terminating the synthesis as in step (e) to produce polypyrrolinone (42);

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(h) cleaving the polypyrrolinone from the resin by deprotecting the  $\alpha$ -amino group, and exposing the  $\alpha$ -amino acid to a plurality of treatments with an aldehyde, trimethylorthoformate, optionally in the presence of a solvent, to produce the corresponding imine (43); and,

(i) cyclizing (43) by forming the metalloimine carbanion with base, optionally in the presence of a crown ether, to produce a pyrrolinone (44).

- 9. A process according to claim 7 wherein the oxidant in step (c) is oxally chloride, a tertiary amine and DMSO.
  - 10. A process according to Claim 7 wherein the tertiary amine is DBU or di-iso-propylethyl amine.
  - 11. A process according to Claim 7 wherein the crown ether in step (b) is 18-crown-6.
  - 12. A process according to Claim 7 wherein the base in step (b) is potassium hexamethyldisilazane.
  - 13. A process according to Claim 7 wherein R<sup>6</sup> is a trialkylsilylethoxycarbonyl group.
- 20 14. A process according to Claim 7 wherein the aldehyde in step (h) is a 3-phenylpropional dehyde (45) derivative optionally substituted at the 3-position with one or two R<sup>3</sup> substituents.

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15. A process according to Claim 7 wherein the aldehyde in step (h) is 3-phenylpropionaldehyde.